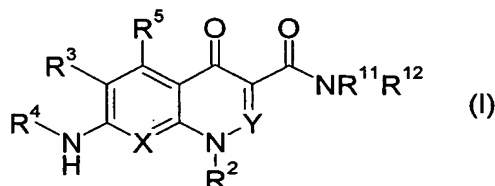


Claims

1. A platelet aggregation inhibitor comprising a quinolone derivative represented by the formula (I) or a pharmaceutically acceptable salt thereof as an active ingredient:



[the symbols in the formula have the following meanings:

X: C-R⁷ or N;

Y: C-R⁶ or N;

10 R¹¹: -H, a lower alkyl which may be substituted, or an amino which may be substituted with a lower alkyl which may be substituted;

R¹²: -H, or a lower alkyl or an aryl which respectively may be substituted, provided that R¹¹ and R¹² together with the adjacent nitrogen may form a cyclic amino which may be substituted;

R²: a lower alkyl, a cycloalkyl, an aryl or a hetero ring, which respectively may be substituted;

15 R³: a halogen, a lower alkyl or -O-lower alkyl;

R⁴: a cycloalkyl or a non-aromatic hetero ring, which respectively may be substituted, or a lower alkyl substituted with a cycloalkyl; provided that when R⁴ represents a non-aromatic hetero ring which may be substituted, a carbon atom constituting the ring binds to the adjacent NH;

20 R⁵: -H, a halogen, cyano, nitro, a lower alkyl, a halogeno-lower alkyl, a cycloalkyl, an aryl, a hetero ring, -O-lower alkyl, -OH, -NHCO-lower alkyl, -N(lower alkyl)CO-lower alkyl, an amino which may be substituted with a lower alkyl, or a cyclic amino which may be substituted;

R⁶: -H, a halogen, a lower alkyl or a halogeno-lower alkyl;

R⁷: -H, a halogen, a lower alkyl or a halogeno-(lower alkyl);

25 provided that when Y represents C-R⁶, R² and R⁶ together may form a lower alkylene or a lower alkenylene.

2. A P2Y₁₂ inhibitor comprising the compound according to claim 1 as an active ingredient.

30 3. Use of the compound according to claim 1 as a platelet aggregation inhibitor.

4. Use of the compound according to claim 1 as a P2Y12 inhibitor.

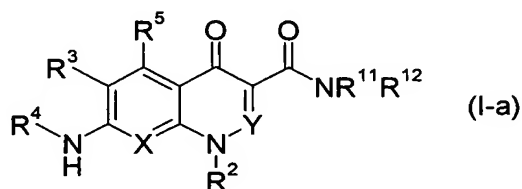
5. Use of the compound according to claim 1 for the manufacture of as a platelet aggregation inhibitor.

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6. Use of the compound according to claim 1 for the manufacture of a P2Y12 inhibitor.

7. A quinolone derivative represented by the formula (I-a) or a pharmaceutically acceptable salt thereof:

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[the symbols in the formula have the following meanings:

X: C-R⁷ or N;

15 Y: C-R⁶ or N;

R¹¹: -H, a lower alkyl which may be substituted, or an amino which may be substituted with a lower alkyl which may be substituted;

R¹²: -H, or a lower alkyl or an aryl, which respectively may be substituted, provided that R¹¹ and R¹² together with the adjacent nitrogen may form a cyclic amino which may be substituted;

20 R²: a lower alkyl, a cycloalkyl, an aryl or a hetero ring, which respectively may be substituted;

R³: a halogen, a lower alkyl or -O-lower alkyl;

R⁴: a cycloalkyl or a non-aromatic hetero ring, which respectively may be substituted, or a lower alkyl substituted with a cycloalkyl; provided that wherein R⁴ represents a non-aromatic hetero ring which may be substituted, a carbon atom constituting the ring binds to the adjacent NH;

25 R⁵: -H, a halogen, cyano, nitro, a lower alkyl, a halogeno-lower alkyl, a cycloalkyl, an aryl, a hetero ring, -O-lower alkyl, -OH, -NHCO-lower alkyl, -N(lower alkyl)CO-lower alkyl, an amino which may be substituted with a lower alkyl, or a cyclic amino which may be substituted;

R⁶: -H, a halogen, a lower alkyl or a halogeno-lower alkyl;

R⁷: -H, a halogen, a lower alkyl or a halogeno-(lower alkyl);

provided that when Y represents C-R⁶, R² and R⁶ together may form a lower alkylene or a lower alkenylene and provided that 7-(cyclohexylamino)-1-ethyl-6-fluoro-4-oxo-1,4-dihydroquinoline-3-carbohydrazide is excluded.

- 5 8. The compound according to claim 7, wherein X is CH.
9. The compound according to claim 8, wherein R³ is a halogen.
10. The compound according to claim 9, wherein R⁴ is a cycloalkyl.
- 10 11. The compound according to claim 10, wherein R⁵ is -H, -OH or a halogen.
12. The compound according to claim 11, wherein R¹² is a lower alkyl respectively substituted with one or more groups selected from the Group Q (provided that at least one is
- 15 substituted with a group of the Group P):
Group P: -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂; and
Group Q: -F, -OH, -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂.
13. The compound according to claim 11, wherein NR¹¹R¹² together is a cyclic amino
- 20 group substituted with one or more groups selected from the Group Q (provided that at least one is substituted with a group of the Group P).
Group P: -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂; and
Group Q: -F, -OH, -CO₂H, -SO₃H, -P(O)(OH)₂, and -OP(O)(OH)₂.
- 25 14. The compound according to claim 7, which is
[2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)ethyl]phosphonic acid,
(2S)-2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)butanedioic acid,
30 2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)ethyl dihydrogen phosphate,
(2S)-2-({[7-(cyclohexylamino)-1-cyclopentyl-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl}amino)pentanedioic acid,

{2-([7-(cyclohexylamino)-6-fluoro-4-oxo-1-[(3S)-tetrahydrofuran-3-yl]-1,4-dihydroquinolin-3-yl]carbonyl)amino]ethyl}phosphonic acid,
 {2-([7-(cyclohexylamino)-6-fluoro-4-oxo-1-[(3R)-tetrahydrofuran-3-yl]-1,4-dihydroquinolin-3-yl]carbonyl)amino]ethyl}phosphonic acid,
 5 [2-([7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)-1,1-difluoroethyl]phosphonic acid,
 {2-([7-(cyclohexylamino)-6-fluoro-1-[2-hydroxy-1-(hydroxymethyl)ethyl]-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl}phosphonic acid,
 [2-([7-(cyclohexylamino)-1-ethyl-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl)amino)ethyl]phosphonic acid,
 10 [2-([7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl)amino)ethyl]phosphonic acid,
 [2-([7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid,
 15 (2S)-2-([7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)pentanedioic acid,
 (2S)-2-([7-(cyclohexylamino)-1-(1-ethylpropyl)-6-fluoro-4-oxo-1,4-dihydrocinnolin-3-yl]carbonyl)amino)pentanedioic acid or
 [2-([7-(cyclohexylamino)-1-(2,2-dimethyl-1,3-dioxan-5-yl)-6-fluoro-4-oxo-1,4-dihydroquinolin-3-yl]carbonyl)amino)ethyl]phosphonic acid, or a pharmaceutically acceptable salt thereof.
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15. The pharmaceutical composition comprising a compound according to any one of claims 7 through 14 and a pharmaceutically acceptable carrier.

25 16. The pharmaceutical composition according to claim 15, which is a platelet aggregation inhibitor.

17. The pharmaceutical composition according to claim 15, which is a P2Y₁₂ inhibitor.

30 18. Use of the compound according to any one of claims 7 through 14 as a platelet aggregation inhibitor.

19. Use of the compound according to any one of claims 7 through 14 as a P2Y12 inhibitor.

5 20. Use of the compound according to any one of claims 7 through 14 for the manufacture of a platelet aggregation inhibitor.

21. Use of the compound according to any one of claims 7 through 14 for the manufacture of a P2Y12 inhibitor.